

Application No.: 10/615,809

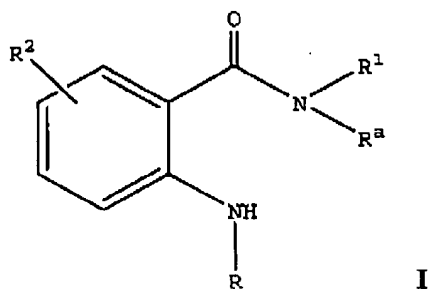
Attorney Docket No. A-817 (US)

AMENDMENTS TO THE CLAIMS

This listing of claims replaces all previous listings

WHAT IS CLAIMED IS:

1. (Currently Amended) A compound of Formula I



wherein R is

 $-(CH_2)_1-R^1$;

wherein R^1 is selected from 1,2-dihydroquinolyl, 1,2,3,4-tetrahydroisoquinolyl, 2,3-dihydro-1H-indolyl, tetrahydroquinolyl, and 1,4-benzodioxanyl; wherein R^1 is unsubstituted or substituted with one or more substituents selected from bromo, chloro, fluoro, iodo, nitro, amino, cyano, aminoethyl, Boc-aminoethyl, hydroxy, oxo, aminosulfonyl, 4-methylpiperazinylsulfonyl, cyclohexyl, phenyl, phenylmethyl, morpholinylmethyl, 1-methylpiperazin-4-ylmethyl, 1-methylpiperazin-4-ylpropyl, morpholinylpropyl, piperidin-1-ylmethyl, 1-methylpiperidin-4-ylmethyl, 2-methyl-2-(1-methylpiperidin-4-yl)ethyl, morpholinylethyl, 1-(4-morpholinyl)-2,2-dimethylpropyl, piperidin-4-ylethyl, 1-Boc-piperidin-4-ylethyl, piperidin-1-ylethyl, 1-Boc-piperidin-4-ylethyl, piperidin-4-ylmethyl, 1-Boc-piperidin-4-ylmethyl, piperidin-4-ylpropyl, 1-Boc-piperidin-4-ylpropyl, piperidin-1-ylpropyl, pyrrolidin-1-ylpropyl, pyrrolidin-2-ylpropyl, 1-Boc-pyrrolidin-2-ylpropyl, pyrrolidin-1-ylmethyl, pyrrolidin-2-ylmethyl, 1-Boc-pyrrolidin-2-ylmethyl, pyrrolidinylpropenyl, pyrrolidinylbutenyl, fluorosulfonyl, methylsulfonyl, methylcarbonyl, Boc, piperidin-1-ylmethylcarbonyl, 4-methylpiperazin-1-ylcarbonylethyl, methoxycarbonyl, aminomethylcarbonyl,

Application No.: 10/615,809

Attorney Docket No. A-817 (US)

dimethylaminomethylcarbonyl, 3-ethoxycarbonyl-2-methyl-fur-5-yl, 4-methylpiperazin-1-yl, 4-methyl-1-piperidyl, 1-Boc-4-piperidyl, piperidin-4-yl, 1-methylpiperidin-4-yl, 1-methyl-(1,2,3,6-tetrahydropyridyl), imidazolyl, morpholinyl, 4-trifluoromethyl-1-piperidinyl, hydroxybutyl, methyl, ethyl, propyl, isopropyl, butyl, tert-butyl, sec-butyl, trifluoromethyl, pentafluoroethyl, nonafluorobutyl, dimethylaminopropyl, 1,1-di(trifluoromethyl)-1-hydroxymethyl, 1,1-di(trifluoromethyl)-1-(piperidinylethoxy)methyl, 1,1-di(trifluoromethyl)-1-(methoxyethoxyethoxy)methyl, 1-hydroxyethyl, 2-hydroxyethyl, trifluoromethoxy, 1-aminoethyl, 2-aminoethyl, 1-(N-isopropylamino)ethyl, 2-(N-isopropylamino)ethyl, dimethylaminoethoxy, 4-chlorophenoxy, phenyloxy, azetidin-3-ylmethoxy, 1-Boc-azetidin-3-ylmethoxy, pyrrol-2-ylmethoxy, 1-Boc-pyrrol-2-ylmethoxy, pyrrol-1-ylmethoxy, 1-methyl-pyrrol-2-ylmethoxy, 1-isopropyl-pyrrol-2-ylmethoxy, 1-Boc-piperdin-4-ylmethoxy, piperdin-4-ylmethoxy, 1-methylpiperdin-4-yloxy, isopropoxy, methoxy and ethoxy; and pharmaceutically acceptable derivatives thereof;

wherein R² is one or more substituents independently selected from

H,
halo,
hydroxy,
amino,
C₁₋₆-alkyl,
C₁₋₆-haloalkyl,
C₁₋₆-alkoxy,
C₁₋₂-alkylamino,
aminosulfonyl,
C₃₋₆-cycloalkyl,
cyano,
C₁₋₂-hydroxyalkyl,
nitro,
C_{2,3}-alkenyl,
C_{2,3}-alkynyl,
C₁₋₆-haloalkoxy,

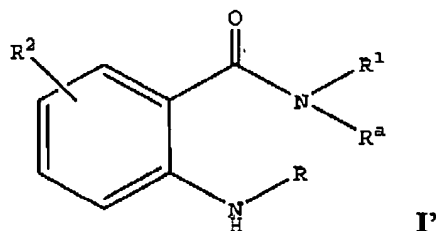
Application No.: 10/615,809

Attorney Docket No. A-817 (US)

C₁₋₆-carboxyalkyl,
 4-6-membered heterocyclyl-C₁₋₆-alkylamino,
 unsubstituted or substituted phenyl and
 unsubstituted or substituted 4-6 membered heterocyclyl;

wherein R³ is substituted or unsubstituted 5-6 membered heterocyclyl; wherein substituted R³ is substituted with one or more substituents independently selected from halo, -OR⁴, -SR⁴, -SO₂R⁴, -CO₂R⁴, -CONR⁴R⁴, -COR⁴, -NR⁴R⁴, -SO₂NR⁴R⁴, -NR⁴C(O)OR⁴, -NR⁴C(O)R⁴, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, lower alkyl substituted with R², cyano, nitro, lower alkenyl and lower alkynyl; wherein R⁴ is independently selected from H, lower alkyl, optionally substituted phenyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted C₃-C₆ cycloalkyl, phenyl-C₁₋₆-alkyl, optionally substituted 4-6 membered heterocyclyl-C₁₋₆-alkyl, and lower haloalkyl; wherein R⁵ is selected from H, C₁₋₃-alkyl, optionally substituted phenyl, optionally substituted phenyl-C₁₋₃-alkyl, 4-6 membered heterocyclyl, optionally substituted 4-6 membered heterocyclyl-C₁₋₃-alkyl, C₁₋₃-alkoxy-C₁₋₂-alkyl and C₁₋₃-alkoxy-C₁₋₃-alkoxy-C₁₋₃-alkyl; wherein R^a is selected from H and C₁₋₂-alkyl; and wherein R^b and R^c are independently selected from H and C₁₋₂-haloalkyl; and pharmaceutically acceptable ~~derivatives~~ salts thereof.

2. (Currently Amended) A compound of Formula I'



wherein R is
 -(CH₂)₁₂-R³;

Application No.: 10/615,809

Attorney Docket No. A-817 (US)

wherein R¹ is selected from 1,2-dihydroquinolyl, 1,2,3,4-tetrahydroisoquinolyl, 2,3-dihydro-1H-indolyl, tetrahydroquinolyl, and 1,4-benzodioxanyl; wherein R¹ is unsubstituted or substituted with one or more substituents selected from bromo, chloro, fluoro, iodo, nitro, amino, cyano, aminoethyl, Boc-aminoethyl, hydroxy, oxo, aminosulfonyl, 4-methylpiperazinylsulfonyl, cyclohexyl, phenyl, phenylmethyl, morpholinylmethyl, 1-methylpiperazin-4-ylmethyl, 1-methylpiperazin-4-ylpropyl, morpholinylpropyl, piperidin-1-ylmethyl, 1-methylpiperidin-4-ylmethyl, 2-methyl-2-(1-methylpiperidin-4-yl)ethyl, morpholinylethyl, 1-(4-morpholinyl)-2,2-dimethylpropyl, piperidin-4-ylethyl, 1-Boc-piperidin-4-ylethyl, piperidin-1-ylethyl, 1-Boc-piperidin-4-ylethyl, piperidin-4-ylmethyl, 1-Boc-piperidin-4-ylmethyl, piperidin-4-ylpropyl, 1-Boc-piperidin-4-ylpropyl, piperidin-1-ylpropyl, pyrrolidin-1-ylpropyl, pyrrolidin-2-ylpropyl, 1-Boc-pyrrolidin-2-ylpropyl, pyrrolidin-1-ylmethyl, pyrrolidin-2-ylmethyl, 1-Boc-pyrrolidin-2-ylmethyl, pyrrolidinylpropenyl, pyrrolidinylbutenyl, fluorosulfonyl, methylsulfonyl, methylcarbonyl, Boc, piperidin-1-ylmethylcarbonyl, 4-methylpiperazin-1-ylcarbonylethyl, methoxycarbonyl, aminomethylcarbonyl, dimethylaminomethylcarbonyl, 3-ethoxycarbonyl-2-methyl-fur-5-yl, 4-methylpiperazin-1-yl, 4-methyl-1-piperidyl, 1-Boc-4-piperidyl, piperidin-4-yl, 1-methylpiperidin-4-yl, 1-methyl-(1,2,3,6-tetrahydropyridyl), imidazolyl, morpholinyl, 4-trifluoromethyl-1-piperidinyl, hydroxybutyl, methyl, ethyl, propyl, isopropyl, butyl, tert-butyl, sec-butyl, trifluoromethyl, pentafluoroethyl, nonafluorobutyl, dimethylaminopropyl, 1,1-di(trifluoromethyl)-1-(piperidinylethoxy)methyl, 1,1-di(trifluoromethyl)-1-(methoxyethoxyethoxy)methyl, 1-hydroxyethyl, 2-hydroxyethyl, trifluoromethoxy, 1-aminoethyl, 2-aminoethyl, 1-(N-isopropylamino)ethyl, 2-(N-isopropylamino)ethyl, dimethylaminoethoxy, 4-chlorophenoxy, phenyloxy, azetidin-3-ylmethoxy, 1-Boc-azetidin-3-ylmethoxy, pyrrol-2-ylmethoxy, 1-Boc-pyrrol-2-ylmethoxy, pyrrol-1-ylmethoxy, 1-methyl-pyrrol-2-ylmethoxy, 1-isopropyl-pyrrol-2-ylmethoxy, 1-Boc-piperdin-4-ylmethoxy, piperdin-4-ylmethoxy, 1-methylpiperdin-4-yloxy, isopropoxy, methoxy and ethoxy; and pharmaceutically acceptable derivatives thereof;

wherein R² is one or more substituents independently selected from

H,

Application No.: 10/615,809

Attorney Docket No. A-817 (US)

halo,
hydroxy,
amino,
C₁₋₆-alkyl,
C₁₋₆-haloalkyl,
C₁₋₆-alkoxy,
C₁₋₂-alkylamino,
aminosulfonyl,
C₃₋₆-cycloalkyl,
cyano,
C₁₋₂-hydroxyalkyl,
nitro,
C₂₋₃-alkenyl,
C₂₋₃-alkynyl,
C₁₋₆-haloalkoxy,
C₁₋₆-carboxyalkyl,
4-6-membered heterocyclyl-C₁₋₆-alkylamino,
unsubstituted or substituted phenyl and
unsubstituted or substituted 4-6 membered heterocyclyl;

wherein R³ is substituted or unsubstituted 5-6 membered heterocyclyl; wherein substituted R³ is substituted with one or more substituents independently selected from halo, -OR⁴, -SR⁴, -SO₂R⁴, -CO₂R⁴, -CONR⁴R⁴, -COR⁴, -NR⁴R⁴, -SO₂NR⁴R⁴, -NR⁴C(O)OR⁴, -NR⁴C(O)R⁴, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, lower alkyl substituted with R⁶, cyano, nitro, lower alkenyl and lower alkynyl;
wherein R⁴ is independently selected from H, lower alkyl, optionally substituted phenyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted C₃-C₆ cycloalkyl, phenyl-C₁₋₆-alkyl, optionally substituted 4-6 membered heterocyclyl-C₁₋₆-alkyl, and lower haloalkyl;

Application No.: 10/615,809

Attorney Docket No. A-817 (US)

wherein R^5 is selected from H, C_{1-3} -alkyl, optionally substituted phenyl, optionally substituted phenyl- C_{1-3} -alkyl, 4-6 membered heterocyclyl, optionally substituted 4-6 membered heterocyclyl- C_{1-3} -alkyl, C_{1-3} -alkoxy- C_{1-2} -alkyl and C_{1-3} -alkoxy- C_{1-3} -alkoxy- C_{1-3} -alkyl;

wherein R^6 is selected from H, halo, hydroxy, amino, C_{1-6} -alkoxy, C_{1-2} -alkylamino, aminosulfonyl, C_{3-6} -cycloalkyl, cyano, nitro, C_{1-6} -haloalkoxy, carboxy, 4-6-membered heterocyclyl- C_{1-6} -alkylamino, unsubstituted or substituted phenyl and unsubstituted or substituted 4-6 membered heterocyclyl;

wherein R^a is selected from H and C_{1-2} -alkyl; and

wherein R^b and R^c are independently selected from H and C_{1-2} -haloalkyl;

and pharmaceutically acceptable ~~derivatives~~ salts thereof;

provided R^3 is not heteroaryl when R^1 is unsubstituted phenyl or phenyl substituted with halo, or C_{1-6} -alkyl and when R^2 is H.

3. (Canceled)

4. (Canceled)

5. (Currently Amended) Compound of Claim 3 ~~2~~ wherein R^1 is selected from 4,4-dimethyl-2-oxo-1,2,3,4-tetrahydroquinol-7-yl, 4,4-dimethyl-1,2,3,4-tetrahydro-isoquinol-7-yl, 2-acetyl-4,4-dimethyl-1,2,3,4-tetrahydro-isoquinol-7-yl, 2,3-dihydro-1H-indolyl, 3,3-dimethyl-2,3-dihydro-1H-indol-6-yl, 1-ethyl-3,3-dimethyl-2,3-dihydro-1H-indol-6-yl, and 1-acetyl-3,3-dimethyl-2,3-dihydro-1H-indol-6-yl; and pharmaceutically acceptable ~~derivatives~~ salts thereof.

6. (Currently Amended) Compound of Claim 5 wherein R^1 is 3,3-dimethyl-2,3-dihydro-1H-indol-6-yl; and pharmaceutically acceptable ~~derivatives~~ salts thereof.

7. (Currently Amended) Compound of Claim 5 wherein R^1 is 4,4-dimethyl-1,2,3,4-tetrahydro-isoquinol-7-yl; and pharmaceutically acceptable ~~derivatives~~ salts thereof.

8. (Canceled)

Application No.: 10/615,809

Attorney Docket No. A-817 (US)

9. (Canceled).

10. (Currently Amended) Compound of Claim 2 wherein R² is selected from H, chloro, fluoro, bromo, amino, hydroxy, methyl, ethyl, propyl, oxo, dimethylamino, aminosulfonyl, cyclopropyl, cyano, hydroxymethyl, nitro, propenyl, trifluoromethyl, methoxy, ethoxy, trifluoromethoxy, carboxymethyl, morpholinylethylamino, propynyl, unsubstituted or substituted phenyl and unsubstituted or substituted heteroaryl selected from thienyl, furanyl, pyridyl, imidazolyl, and pyrazolyl; and pharmaceutically acceptable ~~derivatives~~ salts thereof.

11. (Currently Amended) Compound of Claim 10 wherein R² is H; and pharmaceutically acceptable ~~derivatives~~ salts thereof.

12. (Canceled)

13. (Canceled)

14. (Canceled)

15. (Canceled)

16. (Canceled)

17. (Currently Amended) Compound of Claim 2 wherein R is selected from ~~(3-pyridyl)-~~ ~~(CH₂)₂-~~, (4-pyridyl)-CH₂-, (4-pyrimidinyl)-CH₂-, (5-pyrimidinyl)-CH₂-, (6-pyrimidinyl)-CH₂-, (4-pyridazinyl)-CH₂- and (6-pyridazinyl)-CH₂-; wherein R is unsubstituted or substituted with one or more substituents selected from chloro, fluoro, amino, methylamino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, methoxy and ethoxy; and pharmaceutically acceptable ~~derivatives~~ salts thereof.

18. (Canceled)

Application No.: 10/615,809

Attorney Docket No. A-817 (US)

19. (Currently Amended) Compound of Claim 2 wherein R is selected from (4-pyridyl)-CH₂-, (2-methylamino-4-pyrimidinyl)-CH₂-, (4-pyridazinyl)-CH₂-, (2-methoxy-4-pyridyl)-CH₂-, (4-pyridazinyl)-CH₂-, and (2-amino-4-pyrimidinyl)-CH₂-; and pharmaceutically acceptable derivatives salts thereof.

20. (Currently Amended) Compound of Claim 2 wherein R³ is selected from unsubstituted or substituted 6-membered nitrogen-containing heteroaryl; and wherein substituted R³ is substituted with one or more substituents independently selected from halo, amino, C₁₋₃-alkoxy, hydroxyl, C₁₋₃-alkyl and C₁₋₂-haloalkyl; and pharmaceutically acceptable derivatives salts thereof.

21. (Canceled).

22. (Canceled).

23. (Currently Amended) Compound of Claim 2 wherein R⁵ is selected from H, piperidylethyl and methoxyethoxyethyl; wherein R^a is H; and wherein R^b and R^c are independently selected from H and trifluoromethyl; and pharmaceutically acceptable derivatives salts thereof.

24. (Currently Amended) Compound of Claim 2 wherein R is (4-pyridyl)-CH₂-; and pharmaceutically acceptable derivatives salts thereof.

25. (Canceled)

26. (Canceled)

27. (Canceled)

28. (Canceled).

Application No.: 10/615,809

Attorney Docket No. A-817 (US)

29. (Currently Amended) Compound of Claim 2 wherein R² is H or fluoro; and pharmaceutically acceptable derivatives salts thereof.

30. (Currently Amended) A Compound of Claim 2 and pharmaceutically acceptable salts thereof selected from

N-(3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-[(pyridin-4-ylmethyl)-amino]-benzamide;
N-(1-acetyl-3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-[(pyridin-4-ylmethyl)-amino]-benzamide;
N-(4,4-dimethyl-1,2,3,4-tetrahydro-isoquinolin-7-yl)-2-[(2-methylamino-pyrimidin-4-ylmethyl)-amino]-benzamide;
(R)-N-(4,4-dimethyl-1,2,3,4-tetrahydro-isoquinolin-7-yl)-2-[1-(2-methylamino-pyrimidin-4-yl)-ethylamino]-benzamide;
N-(1-Ethyl-3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-[(pyridin-4-ylmethyl)-amino]-benzamide;
N-(4,4-Dimethyl-1,2,3,4-tetrahydro-isoquinolin-7-yl)-2-[(2-methoxy-pyridin-4-ylmethyl)-amino]-benzamide;
~~N-(4-[1-Methyl-1-(1-methyl-piperidin-4-yl)-ethyl]-phenyl)-2-[(pyridin-4-ylmethyl)-amino]-benzamide;~~
N-(3,3-Dimethyl-2,3-dihydro-1H-indol-6-yl)-2-[(1-oxy-pyridin-4-ylmethyl)-amino]-benzamide;
N-(4,4-Dimethyl-1,2,3,4-tetrahydro-isoquinolin-7-yl)-2-fluoro-6-[(2-methoxy-pyridin-4-ylmethyl)-amino]-benzamide;
N-(4,4-Dimethyl-1,2,3,4-tetrahydro-isoquinolin-7-yl)-3-fluoro-6-[(2-methoxy-pyridin-4-ylmethyl)-amino]-benzamide;
N-(4,4-Dimethyl-1,2,3,4-tetrahydro-quinolin-7-yl)-2-[(1H-pyrrolo[2,3-b]pyridin-3-ylmethyl)-amino]-benzamide;
N-(4,4-Dimethyl-1,2,3,4-tetrahydro-isoquinolin-7-yl)-2-[(pyridazin-4-ylmethyl)-amino]-benzamide;
2-[1-(2-Amino-pyrimidin-4-yl)-ethylamino]-N-(4,4-dimethyl-1,2,3,4-tetrahydro-isoquinolin-7-yl)-benzamide;

Application No.: 10/615,809

Attorney Docket No. A-817 (US)

N-(4,4-Dimethyl-1,2,3,4-tetrahydro-isoquinolin-7-yl)-2-[1-(2-methylamino-pyrimidin-4-yl)-ethylamino]-benzamide;

and

N-(4,4-Dimethyl-1,2,3,4-tetrahydro-isoquinolin-7-yl)-4-fluoro-6-[(2-methoxy-pyridin-4-ylmethyl)-amino]-benzamide.

31. (Original) Compound of Claim 2, and pharmaceutically acceptable salts thereof, comprising N-(3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-[(pyridin-4-ylmethyl)-amino]-benzamide.

32. (Original) Compound of Claim 2, and pharmaceutically acceptable salts thereof, comprising N-(1-acetyl-3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-[(pyridin-4-ylmethyl)-amino]-benzamide.

33. (Canceled)

34. (Original) Compound of Claim 2, and pharmaceutically acceptable salts thereof, comprising N-(4,4-dimethyl-1,2,3,4-tetrahydro-isoquinolin-7-yl)-2-[(2-methylamino-pyrimidin-4-ylmethyl)-amino]-benzamide.

35. (Canceled).

36. (Original) A pharmaceutical composition comprising a pharmaceutically-acceptable carrier and a compound of Claim 1.

37. (Canceled).

38. (Canceled).

39. (Canceled).

Application No.: 10/615,809

Attorney Docket No. A-817 (US)

40. (Canceled).

41. (Canceled).

42. (Canceled).

43. (Canceled).

44. (Canceled).

45. (Canceled).